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L4
    ANSWER 1 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN
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AN 2004:20333 CAPLUS Full-text

DN 140:93926

ΤI Preparation of sulfonylaminovalerolactams as factor Xa inhibitors

IN Smallheer, Joanne M.; Pinto, Donald J.; Wang, Shuaige; Qiao, Jennifer X.; Han, Wei; Hu, Zilun

PΑ USA

SO U.S. Pat. Appl. Publ., 89 pp.

CODEN: USXXCO

DTPatent

LA English

FAN.	CNT 1																
	PATENT	KIND		DATE			APPLICATION NO.						DATE				
ΡI	US 2004006062				A1		2004	0108		US 2							
	WO 200	WO 2004041776			A2	2004052		0521	1	WO 2	003-		20030505				
	WO 200	2004041776			A3		20040910										
	W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
							DK,										
							IN,										
							MD,										
							SC,										
		TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW,	AM,	AZ,	BY,	KG,	KZ,
		MD,	RU,	ТJ,	TM												
	RW	: GH,	GM,	ΚE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	ÄΤ,	BE,	BG,
		CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	IT,	LU,	MC,
		NL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,
		G₩,	ML,	MR,	ΝE,	SN,	TD,	TG									
PRAI US 2002-378313P							2002	0506									
OS	MARPAT	140:	9392	6													
GΙ																	

The title compds. I [G = Ph, pyridyl, pyrrolyl, etc.; Gl = H, alkyl, AB acyl, (substituted) amino, etc.; A = (substituted) Ph, carbocyclic, heterocyclyl; B = lactam, heterocyclyl, etc.; n = 0-2] were prepared I can be used as inhibitors of trypsin-like serine proteases, specifically factor Xa. Thus, II is prepared from 1-[4-(3-amino-2-oxopiperidin-1yl)-3- fluorophenyl]-piperidin-2-one (preparation given) and 6chloronaphthalene-2- sulfonyl chloride. Pharmaceutical compds. containing I are described.

## TT 641612-58-0P 641612-59-1P 641612-60-4P 641612-61-5P 641612-62-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of sulfonylaminovalerolactams as factor Xa inhibitors)

RN 641612-58-0 CAPLUS

CN Acetamide, 2-[[(6-chloro-2-naphthalenyl)sulfonyl][1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]amino]-N-methyl-N-(1-methyl-4-piperidinyl)- (9CI) (CA INDEX NAME)

RN 641612-59-1 CAPLUS

CN Piperazine, 1-[[[(6-chloro-2-naphthalenyl)sulfonyl][1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]amino]acetyl]-4-methyl- (9CI) (CA INDEX NAME)

RN 641612-60-4 CAPLUS

CN Morpholine, 4-[[(6-chloro-2-naphthalenyl)sulfonyl][1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]amino]acetyl]-(9CI) (CA INDEX NAME)

RN 641612-61-5 CAPLUS

CN Acetamide, 2-[[(6-chloro-2-naphthalenyl)sulfonyl][1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]amino]-N-(2-

hydroxyethyl)-N-methyl- (9CI) (CA INDEX NAME)

RN 641612-62-6 CAPLUS

CN 2-Naphthalenesulfonamide, 6-chloro-N-[1-[3-fluoro-2'- (methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]-N-(3-hydroxypropyl)- (9CI) (CA INDEX NAME)

IT 477739-35-8P 477739-36-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of sulfonylaminovalerolactams as factor Xa inhibitors)

RN 477739-35-8 CAPLUS

CN Glycine, N-[(6-chloro-2-naphthalenyl)sulfonyl]-N-[1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]- (9CI) (CA INDEX NAME)

RN 477739-36-9 CAPLUS

CN Acetamide, 2-[[(6-chloro-2-naphthalenyl)sulfonyl][1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]amino]-N-[2-(dimethylamino)ethyl]-N-methyl- (9CI) (CA INDEX NAME)

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ANSWER 2 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN
L4
    2003:203407 CAPLUS Full-text
AN
    138:238181
DN
    Preparation of substituted 1-cyclohexyl-2-phenylbenzimidazole-5-
TI
    carboxylic acids as remedies for hepatitis C
    Hashimoto, Hiromasa; Mizutani, Kenji; Yoshida, Atsuhito
IN
    Japan Tobacco Inc., Japan
PA
    U.S. Pat. Appl. Publ., 406 pp., Cont.-in-part of Appl. No.
SO
    PCT/JP00/09181. CODEN: USXXCO
DT
    Patent
    English
LA
FAN.CNT 3
                                                                  DATE
                       KIND
                               DATE
                                          APPLICATION NO.
    PATENT NO.
                                           _____
                                                                  _____
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                        A1
                               20030313 US 2001-939374
                                                                  20010824
    US 2003050320
PI
                         В2
                               20040803
    us 6770666
                                         WO 2000-JP9181
                                                                  20001222
    WO 2001047883
                         A1
                               20010705
        W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
            CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,
            HU, ID, IL, IN, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV,
            MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE,
            SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA,
            ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
            DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     JP 2001247550
                         A2
                               20010911 JP 2000-391904
                                                                  20001225
                        A1
                                           US 2003-615329
                                                                  20030708
                               20040520
    US 2004097438
PRAI JP 1999-369008
                               19991227
                        Α
                        A2
                               20001222
    WO 2000-JP9181
     JP 2000-391904
                        Α
                               20001225
     JP 2001-193786
                        Α
                               20010626
                         A3
    US 2001-939374
                               20010824
OS
    MARPAT 138:238181
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- \* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT \*
- The title compds. I [the dotted line in rings B1 and B2 indicates a single or double bond; G1 = N, CR1; G2 = N, CR2, G3 = N, CR3; G4 = N, CR4; G5, G6, G8, G9 = C, N; G7 = O, S, CR7, etc.; R1-R4 = H, NO2, etc.; ring Cy = (un)substituted cycloalkyl ring, etc.; ring A = Ph, cycloalkyl, etc. R5, R6 = H, halo, etc.; X = H, CN, etc.; R7 = H, alkyl] are prepared and formulated. Compds. I showed HCV polymerase inhibitory activity (data given). E.g., a multi-step synthesis of II.HCl, starting from 2-bromo-5-nitrotoluene and Me 2-(2-fluoro-4-hydroxyphenyl)-1-cyclohexylbenzimidazole-5-carboxylate, was given.
- IT 480461-56-1P

GΙ

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of substituted 1-cyclohexyl-2-phenylbenzimidazole-5-carboxylic acids as remedies for hepatitis C)

- RN 480461-56-1 CAPLUS
- CN 1H-Benzimidazole-5-carboxylic acid, 2-[4-[[4'-chloro-4-(2-oxo-1-piperidinyl)[1,1'-biphenyl]-2-yl]methoxy]-2-fluorophenyl]-1-cyclohexyl-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RE.CNT 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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ANSWER 3 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN
L4
     2003:5773 CAPLUS Full-text
ΑN
     138:66657
DN
     Fused cyclic compounds and medicinal use thereof
TI
     Hashimoto, Hiromasa; Mizutani, Kenji; Yoshida, Atsuhito
IN
     Japan Tobacco Inc., Japan
PA
     PCT Int. Appl., 603 pp.
SO
     CODEN: PIXXD2
DT
     Patent
     Japanese
LΑ
FAN.CNT 3
     DATENT NO
                         ZIMD
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LPHV.	PATENT	NO.		KIND DATE				APPL:	ICAT:	DATE							
ΡI	WO 2003000254			A1 200		2003	0103	WO 2002-JP6405						20020626			
	W: AE, AG, AL,		AM,	AT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,		
		CO, CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	
		GM, HR,	HU,	ID,	IL,	IN,	IS,	ΚE,	KG,	KR,	ΚZ,	LC,	LK,	LR,	LS,	LT,	
		LU, LV, MA		MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,	PL,	PT,	
		RO, RU, S															
		US, UZ,															
	RW:	GH, GM,															
		CY, DE,															
		BF, BJ,	CF,	CG,													
				A 20030617			JP 2002-185241 BR 2002-5684						20020626				
				<b>A</b> 1				EP 2002-743728									
	R:	AT, BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,	
	IE, SI, LT,			LV,	FI,	RO,	MK,	CY,	ΑL,	TR							
	US 2004	A1		2004	0429	US 2003-344997						20030218					
	NO 2003	000832		Α	20030422			NO 2003-832						20030221			
PRAI	JP 2001-193786			Α		2001	0626										
	JP 2001	351537		Α		2001	1116										
	WO 2002	-JP6405		W		2002	0626										
ÓS	MARPAT	138:6665	57														
GI																	

AB Fused cyclic compds. represented by the following general formula [I] or pharmaceutically acceptable salts thereof and remedies for hepatitis C containing these compds.: I wherein each symbol is as defined in the description. Because of having an effect against hepatitis C virus (HVC) based on an HCV polymerase inhibitory effect, these compds. are useful as remedies or preventives for hepatitis C.

IT 480461-56-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (fused cyclic compds. as hepatitis C virus polymerase inhibitors and antiviral agents)

RN 480461-56-1 CAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 2-[4-[[4'-chloro-4-(2-oxo-1-piperidinyl)[1,1'-biphenyl]-2-yl]methoxy]-2-fluorophenyl]-1-cyclohexyl-,

monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RE.CNT 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

App's

L4 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2002:928243 CAPLUS Full-text

DN 138:14012

TI Monocyclic or bicyclic carbocycles and heterocycles as factor Xa inhibitors

IN Jacobson, Irina C.; Wexler, Ruth R.; Nakajima, Suanne; Quan, Mimi L.;
Wang, Shuaige; Smallheer, Joanne M.; Qiao, Jennifer

PA Bristol-Myers Squibb Pharma. Co., USA

SO U.S. Pat. Appl. Publ., 114 pp. CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

1711	PATENT NO.									APPL							
PI	US 2002183324																
	<b>W</b> O 20	NO 2002102380			A1 200			0021227 V			001-	US51		20011030			
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		CO	, CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
		GM	, HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KΡ,	KR,	KΖ,	LC,	LK,	LR,
		LS	, LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	ΜZ,	NO,	NZ,	PH,	PL,
		PT	, RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	TZ,	UA,	UG,
			, VN,	•		•	•	•	•	•	•	•	•	•			
	P	W: GH		•			•	•	•			•	•		-		
			, DK,	-		-	-	-	-	-		-	-	-		•	BF,
		- , , , , ,						GQ, GW, ML, MR, NE,									
	EP 1337251						EP 2001-274110 GB, GR, IT, LI, LU, N										
	P											LI,	LU,	NL,	SE,	MC,	PT,
			, SI,	•			•										
	US 2004132718				A1 20040708					US 2	003-		20031208				
PRAI	US 2000-246107P				P		2000	1106									
	US 2001-313552P				P		20010820										
	US 2001-3125			A3	A3 20011029												
	WO 2001-US51621 W						2001	1030									
os	MARPA	т 138	:1401														
GI																	

AB Monocyclic or bicyclic carbocycles and heterocycles and their pharmaceutically acceptable salts are useful as inhibitors of factor Xa in the treatment of thromboembolic diseases. Thus, 1-(4-bromo-2-fluorophenyl)-3-hydroxy-2-piperidinone was treated with 3-NCC6H4OH and the resulting piperidinyloxybenzonitrile was coupled with 2-MeSC6H4B(OH)2 to give the biphenyl I. Numerous compds. of the invention possessed Ki values of  $\leq$  10  $\mu M$  in assays with human factor Xa.

Ι

IT 477738-34-4P 477738-43-5P 477738-46-8P 477738-62-8P 477738-72-0P 477738-78-6P 477738-93-5P 477739-33-6P 477739-35-8P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of biphenylylpiperidinones as factor Xa inhibitors)

RN 477738-34-4 CAPLUS

CN Benzonitrile, 3-[[1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]oxy]- (9CI) (CA INDEX NAME)

RN 477738-43-5 CAPLUS

CN Benzamide, 3-chloro-N-[1-[3-fluoro-2'-(methylsulfonyl)][1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]- (9CI) (CA INDEX NAME)

RN 477738-46-8 CAPLUS

CN Benzamide, 4-chloro-N-[1-[3-fluoro-2'-(methylsulfonyl)][1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]- (9CI) (CA INDEX NAME)

RN 477738-62-8 CAPLUS

CN Benzoic acid, 2-[[1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]oxy]-5-methoxy-, methyl ester (9CI) (CA INDEX NAME)

RN 477738-72-0 CAPLUS

CN 3-Pyridinecarboxamide, N-[1-[3-fluoro-2'-(methylthio)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]- (9CI) (CA INDEX NAME)

RN 477738-78-6 CAPLUS

CN Benzenesulfonamide, 4-chloro-N-[1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]- (9CI) (CA INDEX NAME)

RN 477738-93-5 CAPLUS

CN Benzenesulfonamide, 3-chloro-4-fluoro-N-[1-[3-fluoro-2'- (methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]- (9CI) (CA INDEX NAME)

RN 477739-33-6 CAPLUS

CN Glycine, N-[(6-chloro-2-naphthalenyl)sulfonyl]-N-[1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]-,
1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 477739-35-8 CAPLUS

CN Glycine, N-[(6-chloro-2-naphthalenyl)sulfonyl]-N-[1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]- (9CI) (CA INDEX NAME)

TT 477738-35-5P 477738-36-6P 477738-37-7P 477738-38-8P 477738-39-9P 477738-40-2P 477738-42-4P 477738-44-6P 477738-45-7P 477738-47-9P 477738-48-0P 477738-54-8P 477738-56-0P 477738-58-2P 477738-59-3P 477738-60-6P 477738-61-7P 477738-63-9P 477738-64-0P 477738-65-1P 477738-66-2P

477738-67-3P 477738-68-4P 477738-69-5P 477738-70-8P 477738-71-9P 477738-73-1P 477738-74-2P 477738-75-3P 477738-76-4P 477738-77-5P 477738-79-7P 477738-80-0P 477738-81-1P 477738-82-2P 477738-83-3P 477738-84-4P 477738-85-5P 477738-86-6P 477738-87-7P 477738-88-8P 477738-89-9P 477738-90-2P 477738-91-3P 477738-92-4P 477738-94-6P 477738-95-7P 477738-96-8P 477738-97-9P 477738-98-0P 477738-99-1P 477739-00-7P 477739-01-8P 477739-02-9P 477739-03-0P 477739-04-1P 477739-05-2P 477739-06-3P 477739-07-4P 477739-08-5P 477739-09-6P 477739-10-9P 477739-11-0P 477739-12-1P 477739-13-2P 477739-27-8P 477739-28-9P 477739-31-4P 477739-32-5P 477739-34-7P 477739-36-9P 477739-37-0P 477739-38-1P 477739-39-2P 477739-40-5P 477739-41-6P 477739-42-7P 477739-43-8P 477739-44-9P 477739-45-0P 477739-46-1P 477740-12-8P 477740-13-9P 477740-14-0P 477740-15-1P 477740-16-2P 477740-17-3P 477740-18-4P 477740-19-5P 477740-20-8P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of biphenylylpiperidinones as factor Xa inhibitors) 477738-35-5 CAPLUS Benzenecarboximidamide, 3-[[1-[3-fluoro-2'-(methylsulfonyl)[1,1'biphenyl]-4-yl]-2-oxo-3-piperidinyl]oxy]- (9CI) (CA INDEX NAME)

RN 477738-36-6 CAPLUS

RN

CN

CN Benzenecarboximidamide, 4-[[1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]oxy]- (9CI) (CA INDEX NAME)

RN 477738-37-7 CAPLUS

CN Benzonitrile, 3-[[1-[2'-[(dimethylamino)methyl]-3-fluoro[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]oxy]- (9CI) (CA INDEX NAME)

RN 477738-38-8 CAPLUS

CN Benzenecarboximidamide, 3-[[1-[2'-[(dimethylamino)methyl]-3-fluoro[1,1'-

biphenyl]-4-yl]-2-oxo-3-piperidinyl]oxy]- (9CI) (CA INDEX NAME)

RN 477738-39-9 CAPLUS

CN Benzenecarboximidamide, 3-[[1-[2'-[(dimethylamino)methyl][1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]oxy]- (9CI) (CA INDEX NAME)

RN 477738-40-2 CAPLUS

CN Benzenecarboximidamide, 3-[[1-[2'-[(dimethylamino)methyl]-3-fluoro[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]amino]- (9CI) (CA INDEX NAME)

RN 477738-42-4 CAPLUS

CN Benzamide, 2,4-dichloro-N-[1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]- (9CI) (CA INDEX NAME)

RN 477738-44-6 CAPLUS

CN Benzamide, 3,4-dichloro-N-[1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]- (9CI) (CA INDEX NAME)

RN 477738-45-7 CAPLUS

CN Benzamide, 4-fluoro-N-[1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]- (9CI) (CA INDEX NAME)

RN 477738-47-9 CAPLUS

CN Benzamide, N-[1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]-4-methoxy- (9CI) (CA INDEX NAME)

RN 477738-48-0 CAPLUS

CN Benzamide, N-[1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]-3-methoxy- (9CI) (CA INDEX NAME)

RN 477738-49-1 CAPLUS

CN 4-Pyridinecarboxamide, 2-chloro-N-[1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]- (9CI) (CA INDEX NAME)

RN 477738-50-4 CAPLUS

CN 3-Pyridinecarboxamide, 6-chloro-N-[1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]- (9CI) (CA INDEX NAME)

RN 477738-53-7 CAPLUS

CN 3-Pyridinecarboxamide, N-[1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]-6-(1H-pyrazol-1-yl)- (9CI) (CA INDEX NAME)

RN 477738-54-8 CAPLUS

CN 3-Pyridinecarboxylic acid, 2-chloro-, 1-[3-fluoro-2'- (methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl ester (9CI) (CA INDEX NAME)

RN 477738-56-0 CAPLUS

CN Benzoic acid, 4-methoxy-, 1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl ester (9CI) (CA INDEX NAME)

RN 477738-58-2 CAPLUS

CN Benzaldehyde, 2-[[1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]oxy]-5-methoxy- (9CI) (CA INDEX NAME)

RN 477738-59-3 CAPLUS

CN 2-Piperidinone, 3-[(5-chloro-2-pyridinyl)amino]-1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)

RN 477738-60-6 CAPLUS

CN 2-Piperidinone, 1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-3-(4-methoxyphenoxy)- (9CI) (CA INDEX NAME)

RN 477738-61-7 CAPLUS

CN 2-Piperidinone, 1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-3-[(4-methoxyphenyl)amino]- (9CI) (CA INDEX NAME)

RN 477738-63-9 CAPLUS

CN 2-Piperidinone, 3-[3-(aminomethyl)phenoxy]-1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)

RN 477738-64-0 CAPLUS

CN 2-Piperidinone, 1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-3-[4-methoxy-2-[(phenylamino)methyl]phenoxy]- (9CI) (CA INDEX NAME)

RN 477738-65-1 CAPLUS

CN Benzamide, 2-[[1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]oxy]-5-methoxy-N-4-pyridinyl- (9CI) (CA INDEX NAME)

RN 477738-66-2 CAPLUS

CN Benzamide, 3-chloro-N-[1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]-N-methyl- (9CI) (CA INDEX NAME)

RN 477738-67-3 CAPLUS

CN Benzamide, 4-chloro-N-[1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

RN 477738-68-4 CAPLUS

CN 1H-Indole-5-carboxamide, N-[1-[3-fluoro-2'-(methylthio)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]-(9CI) (CA INDEX NAME)

RN 477738-69-5 CAPLUS

CN 1H-Benzimidazole-5-carboxamide, N-[1-[3-fluoro-2'-(methylthio)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]- (9CI) (CA INDEX NAME)

RN 477738-70-8 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-[1-[3-fluoro-2'-(methylthio)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]- (9CI) (CA INDEX NAME)

RN 477738-71-9 CAPLUS

CN 4-Pyridinecarboxamide, N-[1-[3-fluoro-2'-(methylthio)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]- (9CI) (CA INDEX NAME)

RN 477738-73-1 CAPLUS

CN 3-Pyridinecarboxamide, N-[1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]- (9CI) (CA INDEX NAME)

RN 477738-74-2 CAPLUS

CN 3-Pyridinecarboxamide, 6-amino-N-[1-[3-fluoro-2'-(methylthio)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]- (9CI) (CA INDEX NAME)

RN 477738-75-3 CAPLUS

CN 2-Piperidinone, 3-[[(4-chlorophenyl)methyl]amino]-1-[3-fluoro-2'-(methylthio)[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)

RN 477738-76-4 CAPLUS

CN Benzenecarboximidamide, 3-[[[1-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]amino]sulfonyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & & \\ & & & & & \\ H_2N - C & & & & \\ & & & & \\ NH & & & & \\ \end{array}$$

RN 477738-77-5 CAPLUS

CN Benzenecarboximidamide, 3-[[[1-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl](phenylmethyl)amino]sulfonyl]- (9CI) (CA INDEX NAME)

RN 477738-79-7 CAPLUS

CN 2-Naphthalenesulfonamide, 6-chloro-N-[1-[3-fluoro-2'- (methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]- (9CI) (CA INDEX NAME)

RN 477738-80-0 CAPLUS

CN 2-Naphthalenesulfonamide, 7-chloro-N-[1-[3-fluoro-2'- (methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]- (9CI) (CF INDEX NAME)

RN 477738-81-1 CAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[1-[3-fluoro-2'- (methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]- (9CI) (CA INDEX NAME)

RN 477738-82-2 CAPLUS

CN 2-Thiophenesulfonamide, N-[1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]-5-(3-isoxazolyl)- (9CI) (CA INDEX NAME)

RN 477738-83-3 CAPLUS

CN Benzenesulfonamide, 4-fluoro-N-[1-[3-fluoro-2'-(methylsulfonyl)]1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]- (9CI) (CA INDEX NAME)

RN 477738-84-4 CAPLUS

CN Benzenesulfonamide, N-[1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]-4-methoxy- (9CI) (CA INDEX NAME)

RN 477738-85-5 CAPLUS

CN Benzenesulfonamide, 4-ethyl-N-[1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]- (9CI) (CA INDEX NAME)

RN 477738-86-6 CAPLUS

CN Benzenesulfonamide, N-[1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]-3-methoxy- (9CI) (CA INDEX NAME)

RN 477738-87-7 CAPLUS

CN 3-Pyridinesulfonamide, 5-bromo-6-chloro-N-[1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]- (9CI) (CA INDEX NAME)

RN 477738-88-8 CAPLUS

CN 2-Thiophenesulfonamide, N-[1-[3-fluoro-2'-(methylsulfonyl)]1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]-5-(2-pyridinyl)- (9CI) (CA INDEX NAME)

RN 477738-89-9 CAPLUS

CN Benzenesulfonamide, 3,4-difluoro-N-[1-[3-fluoro-2'- (methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]- (9CI) (CA INDEX NAME)

RN 477738-90-2 CAPLUS

CN Benzenesulfonamide, 3-chloro-N-[1-[3-fluoro-2'-(methylsulfonyl)]1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]- (9CI) (CA INDEX NAME)

RN 477738-91-3 CAPLUS

CN 2-Thiophenesulfonamide, 3,5-dichloro-N-[1-[3-fluoro-2'- (methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]- (9CI) (CA INDEX NAME)

RN 477738-92-4 CAPLUS

CN Benzenesulfonamide, 4-cyano-N-[1-[3-fluoro-2'-(methylsulfonyl)]1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]- (9CI) (CA INDEX NAME)

RN 477738-94-6 CAPLUS

CN 1H-Imidazole-4-sulfonamide, N-[1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]-1-methyl- (9CI) (CA INDEX NAME)

RN 477738-95-7 CAPLUS

CN Benzenesulfonamide, 2,5-dichloro-N-[1-[3-fluoro-2'- (methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]- (9CI) (CA INDEX NAME)

RN 477738-96-8 CAPLUS

CN Benzenesulfonamide, 3,5-dichloro-N-[1-[3-fluoro-2'(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]- (9CI) (CA INDEX NAME)

RN 477738-97-9 CAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[1-[2'-[(diethylamino)methyl][1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]- (9CI) (CA INDEX NAME)

RN 477738-98-0 CAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[2-oxo-1-[2'-(1-pyrrolidinylmethyl)[1,1'-biphenyl]-4-yl]-3-piperidinyl]- (9CI) (CA INDEX NAME)

RN 477738-99-1 CAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[1-[2'-[(3-hydroxy-1-pyrrolidinyl)methyl][1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]- (9CI) (CA INDEX NAME)

RN 477739-00-7 CAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[1-[2'-[(4-hydroxy-1-piperidinyl)methyl][1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]- (9CI) (CA INDEX NAME)

RN 477739-01-8 CAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[1-[2'-[[(2-hydroxyethyl)methylamino]methyl][1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]-(9CI) (CA INDEX NAME)

RN 477739-02-9 CAPLUS

CN 1,2-Benzisoxazole-5-sulfonamide, 3-amino-N-[1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]- (9CI) (CA INDEX NAME)

RN 477739-03-0 CAPLUS

CN 2-Piperidinone, 3-[(3-amino-1,2-benzisoxazol-5-yl)amino]-1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)

RN 477739-04-1 CAPLUS

CN Benzenecarboximidamide, 2-fluoro-5-[[1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]amino]-N-hydroxy- (9CI) (CA INDEX NAME)

RN 477739-05-2 CAPLUS

CN 2-Piperidinone, 3-[[3-(2,5-dihydro-5-oxo-1H-1,2,4-triazol-3-yl)phenyl]amino]-1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-(9CI) (CA INDEX NAME)

RN 477739-06-3 CAPLUS

CN Benzenesulfonamide, 3-(2,5-dihydro-5-oxo-1H-1,2,4-triazol-3-yl)-N-[1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]-(9CI) (CA INDEX NAME)

RN 477739-07-4 CAPLUS

CN 2-Piperidinone, 3-[3-(2,5-dihydro-5-oxo-1H-1,2,4-triazol-3-yl)phenoxy]-1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)

RN 477739-08-5 CAPLUS

CN Benzamide, 3-(2,5-dihydro-5-oxo-1H-1,2,4-triazol-3-yl)-N-[1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]- (9CI) (CA INDEX NAME)

RN 477739-09-6 CAPLUS

CN Benzenesulfonamide, 4-chloro-N-[1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

RN 477739-10-9 CAPLUS

CN Benzenesulfonamide, 4-chloro-N-[1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]-N-methyl- (9CI) (CA INDEX NAME)

RN 477739-11-0 CAPLUS

CN Benzenesulfonamide, 4-chloro-N-ethyl-N-[1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]- (9CI) (CA INDEX NAME)

RN 477739-12-1 CAPLUS

CN Benzenesulfonamide, 4-chloro-N-[1-[3-fluoro-2'-(methylsulfonyl)]1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]-N-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

RN 477739-13-2 CAPLUS

CN Benzenesulfonamide, 4-chloro-N-[1-[3-fluoro-2'-(methylsulfonyl)]1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]-N-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)

RN 477739-27-8 CAPLUS

CN Benzamide, 4-chloro-N-[1-[2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-(phenylmethyl)-3-piperidinyl]- (9CI) (CA INDEX NAME)

RN 477739-28-9 CAPLUS

CN 3-Piperidineacetic acid, 3-[[(6-chloro-2-naphthalenyl)sulfonyl]amino]-1[2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-, methyl ester (9CI)
(CA INDEX NAME)

RN 477739-31-4 CAPLUS

CN Glycine, N-[(6-chloro-2-naphthalenyl)sulfonyl]-N-[1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 477739-32-5 CAPLUS

CN Glycine, N-[(6-chloro-2-naphthalenyl)sulfonyl]-N-[1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 477739-34-7 CAPLUS

CN 2-Naphthalenesulfonamide, 6-chloro-N-[1-[3-fluoro-2'- (methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]-N-

(phenylmethyl) - (9CI) (CA INDEX NAME)

RN 477739-36-9 CAPLUS

CN Acetamide, 2-[[(6-chloro-2-naphthalenyl)sulfonyl][1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]amino]-N-[2-(dimethylamino)ethyl]-N-methyl- (9CI) (CA INDEX NAME)

RN 477739-37-0 CAPLUS

CN Acetamide, 2-[[(6-chloro-2-naphthalenyl)sulfonyl][1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]amino]-N-(2-hydroxyethyl)- (9CI) (CA INDEX NAME)

RN 477739-38-1 CAPLUS

CN Acetamide, 2-[[(6-chloro-2-naphthalenyl)sulfonyl][1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]amino]-N-[2-(dimethylamino)ethyl]- (9CI) (CA INDEX NAME)

RN 477739-39-2 CAPLUS

CN Benzenesulfonamide, N-[1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]- (9CI) (CA INDEX NAME)

RN 477739-40-5 CAPLUS

CN 3-Pyridinesulfonamide, N-[1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]- (9CI) (CA INDEX NAME)

RN 477739-41-6 CAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]-3-methyl-(9CI) (CA INDEX NAME)

RN 477739-42-7 CAPLUS

CN 3-Quinolinesulfonamide, N-[1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]- (9CI) (CA INDEX NAME)

RN 477739-43-8 CAPLUS

CN 6-Quinolinesulfonamide, N-[1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]- (9CI) (CA INDEX NAME)

$$0 = S = 0$$

$$Me$$

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$$NH - S$$

$$NH - S$$

RN 477739-44-9 CAPLUS

CN 6-Quinoxalinesulfonamide, N-[1-[3-fluoro-2'-(methylsulfonyl)]1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]- (9CI) (CA INDEX NAME)

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RN 477739-45-0 CAPLUS

CN 3-Pyridinesulfonamide, 6-amino-N-[1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]- (9CI) (CA INDEX NAME)

RN 477739-46-1 CAPLUS

CN 2H-Indazole-6-sulfonamide, N-[1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]- (9CI) (CA INDEX NAME)

RN 477740-12-8 CAPLUS

CN Benzamide, 3-chloro-N-[1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

RN 477740-13-9 CAPLUS

CN Benzenecarboximidamide, 3-[[[1-[2'-(aminosulfonyl)-3-fluoro[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl](phenylmethyl)amino]sulfonyl]- (9CI) (CA INDEX NAME)

RN 477740-14-0 CAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[1-[2'-[(diethylamino)methyl]-3-fluoro[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

RN 477740-15-1 CAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[1-[3-fluoro-2'-(1-pyrrolidinylmethyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

RN 477740-16-2 CAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[1-[3-fluoro-2'-[(3-hydroxy-1-pyrrolidinyl)methyl][1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

RN 477740-17-3 CAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[1-[3-fluoro-2'-[(4-hydroxy-1-piperidinyl)methyl][1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

RN 477740-18-4 CAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[1-[3-fluoro-2'-[[(2-hydroxyethyl)methylamino]methyl][1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

RN 477740-19-5 CAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[1-[3-fluoro-2'-[(4-hydroxy-1-piperidinyl)methyl][1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]-3-methyl-(9CI) (CA INDEX NAME)

RN 477740-20-8 CAPLUS

CN 1H-Indazole-6-sulfonamide, N-[1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]- (9CI) (CA INDEX NAME)

IT 471908-93-7P 477739-49-4P 477739-50-7P

477739-56-3P 477739-58-5P 477739-61-0P

477739-62-1P 477739-63-2P 477739-64-3P

477739-65-4P 477740-01-5P 477740-21-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of biphenylylpiperidinones as factor Xa inhibitors)

RN 471908-93-7 CAPLUS

CN 2-Piperidinone, 3-bromo-1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)

RN 477739-49-4 CAPLUS

CN 2-Piperidinone, 1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-3-hydroxy- (9CI) (CA INDEX NAME)

RN 477739-50-7 CAPLUS

CN 2-Piperidinone, 3-amino-1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)

RN 477739-56-3 CAPLUS

CN Benzenecarboximidamide, 3-[[[1-[2'-[[(1,1-dimethylethyl)amino]sulfonyl][1, 1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]amino]sulfonyl]- (9CI) (CA INDEX NAME)

RN 477739-58-5 CAPLUS

CN [1,1'-Biphenyl]-2-sulfonamide, 4'-[3-[[(3-cyanophenyl)sulfonyl](phenylmethyl)amino]-2-oxo-1-piperidinyl]-N-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 477739-61-0 CAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[1-(2'-formyl[1,1'-biphenyl]-4-yl)-2-oxo-3-piperidinyl]- (9CI) (CA INDEX NAME)

RN 477739-62-1 CAPLUS

CN Benzenesulfonamide, 3-cyano-4-fluoro-N-[1-[3-fluoro-2'- (methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]- (9CI) (CA INDEX NAME)

RN 477739-63-2 CAPLUS

CN Benzonitrile, 2-fluoro-5-[[1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]amino]- (9CI) (CA INDEX NAME)

RN 477739-64-3 CAPLUS

CN Carbamic acid, (3-amino-1,2-benzisoxazol-5-yl)[1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 477739-65-4 CAPLUS

CN Benzonitrile, 3-[[1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]amino]- (9CI) (CA INDEX NAME)

RN 477740-01-5 CAPLUS

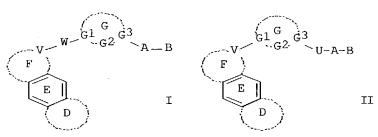
CN Benzamide, 4-chloro-N-[1-[2'-(methylthio)[1,1'-biphenyl]-4-yl]-2-oxo-3-(phenylmethyl)-3-piperidinyl]- (9CI) (CA INDEX NAME)

RN 477740-21-9 CAPLUS

CN Carbamic acid, (3-cyano-4-fluorophenyl)[1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

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ANSWER 5 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN
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     2002:793361 CAPLUS Full-text
ΑN
     137:310810
DN
     Preparation of indole and other fused heterocyclic inhibitors of factor
TI
     Xa useful for treating/preventing thromboembolic disorders
     Jacobson, Irina C.; Quan, Mimi L.; Wexler, Ruth R.
IN
     Bristol-Myers Squibb Company, USA
PA
     PCT Int. Appl., 149 pp.
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This invention relates generally to a novel class of fused heterocyclic AB' compds. (shown as I and II; e.g. 1-[[1-(3-fluoro-2'methylsulfonyl)[1,1'- biphenyl]-4-yl]-2-oxo-3-piperidinyl]-1H-indole-6carbonitrile) or pharmaceutically acceptable salt forms thereof, which are inhibitors of trypsin-like serine protease enzymes, especially factor Xa, pharmaceutical compns. containing the same, and methods of using the same as anticoagulant agents for treatment and prevention of thromboembolic disorders. Some compds. of this invention were evaluated and found to exhibit a Ki of  $\leq 10$   $\mu$ M, thereby confirming the utility of the compds. of the present invention as effective thrombin inhibitors. Although the methods of preparation are not claimed, .apprx.15 example prepns. are included. In I and II, ring D, including the two atoms of ring E to which it is attached, is a 5-6 membered nonarom. ring consisting of C atoms, 0-1 double bonds, and 0-2 heteroatoms N, O, and S(O)p, and ring D is substituted with 0-2 R1, provided that when ring D is unsubstituted, it consists of at least one heteroatom; alternatively,

ring D, including the two atoms of ring E to which it is attached, is a 5-6 membered aromatic system consisting of C atoms and 0-2 heteroatoms N, O, and S(O)p, and ring D is substituted with 0-2 R1, provided that when ring D is unsubstituted, it consists of at least one heteroatom. is selected from Ph, pyridyl, pyrimidyl, pyrazinyl, and pyridazinyl, and is substituted with 0-1 R1; alternatively, ring D is absent and ring E is selected from Ph, pyridyl, pyrimidyl, pyrazinyl, pyridazinyl, pyrrolyl, pyrazolyl, imidazolyl, isoxazolyl, oxazolyl, thiazolyl, thienyl and triazolyl, and ring E is substituted with 0-2 Ra; Ra is selected from H, C1-4 alkyl, F, C1, Br, I, OH, OCH3, OCH2CH3, OCH(CH3)2, OCH2CH2CH3, CN, C(:NR8)NR7R9, NHC(:NR8)NR7R9, NR8CH(:NR7), C(O)NR7R8, (CR8R9)tNR7R8, SH, SCH3, SCH2CH3, SCHMe2, SCH2CH2CH3, S(O)R3b, S(O)2R3a, S(O)2NR2R2a, and OCF3; alternatively, two Ras combine to form methylenedioxy or ethylenedioxy. Alternatively, ring D is absent and ring E is selected from Ph, pyridyl, pyrimidyl, pyrazinyl, pyridazinyl, and thienyl, and ring E is substituted with 1 R and with a 5-6 membered aromatic heterocycle consisting of: C atoms and 1-4 heteroatoms N, O, and S(O)p substituted with 0-1 carbonyl groups and 0-2 R1. Ring F completes a 5-7 membered heterocycle consisting of C atoms, 1-3 heteroatoms N, NH, O, and -S(0)p-, 0-2 addnl. double bonds, and 0-2carbonyl groups, provided that other than a O-O, O-S, or S-S bond is present in the ring and ring F is substituted with 0-1 R4c. Ring G completes a 5-7 membered nonarom. heterocycle consisting of C atoms, 1--3heteroatoms N, NZ, O, and S(O)p, 0-2 double bonds, and 0-3 carbonyl groups, and ring G is substituted with 0-2 R1a, provided that other than a O-O, O-S, or S-S bond is present in ring G. Z is selected from H, S(0) 2NHR3, C(0) R3, C(0) NHR3, C(0) OR3f, S(0) R3f, S(0) 2R3f, C1-6 alkyl substituted with 0-2 Rla; C2-6 alkenyl substituted with 0-2 Rla; C2-6 alkynyl substituted with 0-2 Rla; -(CO-4 alkyl)-C3-10-carbocycle substituted with 0-3 R1a; -(C0-4 alkyl)-5-12 membered-heterocycle substituted with 0-3 Rla. Gl is selected from C, CH, and N; G2 is selected from CH, CH2, C(0), O, S(0)p, N, and NH; G3 is selected from C, CH, and N; A is selected from C3-10 carbocycle substituted with 0-2 R4, and 5-12 membered heterocycle consisting of C atoms and from 1-4 heteroatoms N, O, and S and substituted with 0-2 R4; B is selected from: Y, X-Y, (CH2)0-2C(0)NR2R2a, (CH2)0-2NR2R2a, C(:NR2)NR2R2a, and NR2C(:NR2)NR2R2a, provided that G3 and B are attached to different atoms on A. X is selected from -(CR2R2a)1-4-, -CR2(CR2R2b)(CH2)t-, -C(0)-, -C(:NR1c)-, -CR2(NR2R2a)-, -CR2(OR2)-, -CR2(SR2)-, -C(O)CR2R2a-, -CR2(SR2)-CR2R2aC(0), -S-, -S(0)-, -S(0)2-, -SCR2R2a-, -S(0)CR2R2a-, -S(0)2CR2R2a-, -CR2R2aS-, -CR2R2aS(0)-, -CR2R2aS(0)2-, -S(0)2NR2-, -NR2S(0)2-, -NR2S(0)2CR2R2a-, -CR2R2aS(0)2NR2-, -NR2S(0)2NR2-, -C(0)NR2-, -NR2C(0)-, -C(0)NR2CR2R2a-, -NR2C(0)CR2R2a-, -CR2R2aC(0)NR2-, -CR2R2aNR2C(0)-, -NR2C(0)O-, -OC(0)NR2-, -NR2C(0)NR2-, -NR2-, -NR2CR2R2a-, -CR2R2aNR2-, O, -CR2R2aO-, and -OCR2R2a-. Y is selected from -(CH2)rNR2R2a; C3-10 carbocycle substituted with 0-2 R4a; and 5-10 membered heterocycle consisting of C atoms and from 1-4 heteroatoms N, O, and S and substituted with 0-2 R4a; provided that X-Y do not form a N-N, O-N, or S-N bond; V is selected from C, CH, and N; U is a bond or is selected from CHR3b, C(O), O, S(O)p, NR3b, C(O)NR3, NR3C(O), C(O)CH2, CH2C(O), S(O)pNR3, NR3S(O)p, OCH2, CH2O, NR3bCH2, and CH2NR3b; provided that when ring D is absent, U is other than a bond; W is a bond or is selected from CHR3b, C(O), O, S(O)p, NR3b, C(O)NR3, NR3C(O), C(O)CH2, CH2C(O), S(O)pNR3, NR3S(O)p, OCH2, CH2O, NR3bCH2, and CH2NR3b; provided that when ring D is absent, W is a bond. Variables in I and II not defined above are defined in the claims.

biphenyl]-4-yl]-2-oxo-3-piperidinyl]-6-indoline carbonitrile RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (intermediate; preparation of indole and other fused heterocyclic inhibitors of factor Xa useful for treating/preventing thromboembolic disorders)

RN 471908-90-4 CAPLUS

CN 1H-Indole-6-carbonitrile, 1-[1-[2'-[(dimethylamino)methyl]-3-fluoro[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]-2,3-dihydro-(9CI) (CA INDEX NAME)

IT**471908-92-6P**, 1-[3-Fluoro-2'-(methylsulfanyl)[1,1'-biphenyl]-4-yl]-3-hydroxy-2-piperidinone 471908-93-7P, 3-Bromo-1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-piperidinone 471908-94-8P , 3-Bromo-1-[3-fluoro-2'-(methylsulfanyl)[1,1'-biphenyl]-4-yl]-2piperidinone 471908-98-2P, 1-[1-[(3-Fluoro-2'methylsulfanyl)[1,1'-biphenyl]-4-yl]-2-oxopiperidin-3-yl]-1H-indazole-6carbonitrile 471908-99-3P, 1-[1-[(3-Fluoro-2'methanesulfonyl)[1,1'-biphenyl]-4-yl]-2-oxopiperidin-3-yl]-1H-indazole-6-carbonitrile 471909-01-0P, 3-[1-[(3-Fluoro-2'methylsulfanyl)[1,1'-biphenyl]-4-yl]-2-oxopiperidin-3-yl]-3Hbenzimidazole-5-carbonitrile 471909-02-1P, 1-[1-[(3-Fluoro-2'methylsulfanyl)[1,1'-biphenyl]-4-yl]-2-oxopiperidin-3-yl]-1Hbenzimidazole-5-carbonitrile 471909-03-2P, 3-[1-[(3-Fluoro-2'methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxopiperidin-3-yl]-3Hbenzimidazole-5-carbonitrile 471909-05-4P, 1-[1-[(3-Fluoro-2'methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxopiperidin-3-yl]-1Hbenzimidazole-5-carbonitrile RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (intermediate; preparation of indole and other fused heterocyclic inhibitors of factor Xa useful for treating/preventing thromboembolic disorders) RN 471908-92-6 CAPLUS 2-Piperidinone, 1-[3-fluoro-2'-(methylthio)[1,1'-biphenyl]-4-yl]-3-CN hydroxy-(9CI) (CA INDEX NAME)

RN 471908-93-7 CAPLUS

CN 2-Piperidinone, 3-bromo-1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)

RN 471908-94-8 CAPLUS

CN 2-Piperidinone, 3-bromo-1-[3-fluoro-2'-(methylthio)[1,1'-biphenyl]-4-yl]-(9CI) (CA INDEX NAME)

RN 471908-98-2 CAPLUS

CN 1H-Indazole-6-carbonitrile, 1-[1-[3-fluoro-2'-(methylthio)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]- (9CI) (CA INDEX NAME)

RN 471908-99-3 CAPLUS

CN 1H-Indazole-6-carbonitrile, 1-[1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]- (9CI) (CA INDEX NAME)

RN 471909-01-0 CAPLUS

CN 1H-Benzimidazole-6-carbonitrile, 1-[1-[3-fluoro-2'-(methylthio)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]- (9CI) (CA INDEX NAME)

RN 471909-02-1 CAPLUS

CN 1H-Benzimidazole-5-carbonitrile, 1-[1-[3-fluoro-2'-(methylthio)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]- (9CI) (CA INDEX NAME)

RN 471909-03-2 CAPLUS

CN 1H-Benzimidazole-6-carbonitrile, 1-[1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]- (9CI) (CA INDEX NAME)

RN 471909-05-4 CAPLUS

CN 1H-Benzimidazole-5-carbonitrile, 1-[1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]- (9CI) (CA INDEX NAME)

IT 471908-88-0P, 1-[1-[3-Fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4yl]-2-oxo-3-piperidinyl]-1H-indole-6-carbonitrile 471909-07-6P
471909-09-8P, 1-[1-[3-Fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4yl]-2-oxopiperidin-3-yl]-5-methoxy-1,3-dihydrobenzimidazol-2-one
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of indole and other fused heterocyclic inhibitors of factor Xa useful for treating/preventing thromboembolic disorders)

RN 471908-88-0 CAPLUS

CN 1H-Indole-6-carbonitrile, 1-[1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]- (9CI) (CA INDEX NAME)

RN 471909-07-6 CAPLUS

CN 2-Piperidinone, 3-(3,4-dihydro-6-methoxy-1(2H)-quinolinyl)-1-[3-fluoro-2'-(methylthio)[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)

RN 471909-09-8 CAPLUS

CN 2H-Benzimidazol-2-one, 1-[1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]-1,3-dihydro-5-methoxy- (9CI) (CA INDEX NAME)

IT 471908-85-7P, 1-[1-[3-Fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4yl]-2-oxo-3-piperidinyl]-1H-indole-6-carboximidamide 471908-89-1P
, 1-[1-[2'-[(Dimethylamino)methyl]-3-fluoro[1,1'-biphenyl]-4-yl]-2-oxo-

piperidinyl]-6-indoline carboximidamide 471908-91-5P, Ethyl
 1-[1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3 piperidinyl]-5-methoxy-1H-indole-2-carboxylate 471908-95-9P,
 1-[3-Fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-3-(5-methoxy-1H-indol-

1-y1)-2-piperidinone **471908-96-0P**, 3-[1-[3-Fluoro-2'-(methanesulfonyl)[1,1'-biphenyl]-4-y1]-2-oxopiperidin-3-y1]-6-methoxy-

(methanesulfonyl)[1,1'-biphenyl]-4-yl]-2-oxopiperidin-3-yl]-6-methoxy3Hbenzoxazol-2-one 471908-97-1P, 1-[1-[3-Fluoro-2'-

(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]-1H-indazole-

carboximidamide 471909-00-9P, 3-[1-[3-Fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]-3H-benzimidazole-5-carboximidamide 471909-04-3P, 1-[1-[(3-Fluoro-2'-methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]-1H-benzimidazole-5-carboximidamide 471909-06-5P, 1-[1-[3-Fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxopiperidin-

yl]-5-methoxy-1H-indole-2,3-dione **471909-08-7P 471909-10-1P**, 1-[1-(3-Fluoro-2'-methylsulfonyl[1,1'-biphenyl]-4-yl)-2-oxopiperidin-3-yl]-3-isopropyl-5-methoxy-1,3-dihydrobenzimidazol-

one

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3-

2-

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of indole and other fused heterocyclic inhibitors of factor  $\ensuremath{\mathtt{Xa}}$ 

useful for treating/preventing thromboembolic disorders) RN 471908-85-7 CAPLUS

CN 1H-Indole-6-carboximidamide, 1-[1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]- (9CI) (CA INDEX NAME)

RN 471908-89-1 CAPLUS

CN 1H-Indole-6-carboximidamide, 1-[1-[2'-[(dimethylamino)methyl]-3-fluoro[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]-2,3-dihydro-(9CI) (CA INDEX NAME)

RN 471908-91-5 CAPLUS

CN 1H-Indole-2-carboxylic acid, 1-[1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]-5-methoxy-, ethyl ester (9CI) (CA INDEX NAME)

RN 471908-95-9 CAPLUS

CN 2-Piperidinone, 1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-3-(5-

methoxy-1H-indol-1-y1)- (9CI) (CA INDEX NAME)

RN 471908-96-0 CAPLUS

4-

CN 2(3H)-Benzoxazolone, 3-[1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-

yl]-2-oxo-3-piperidinyl]-6-methoxy- (9CI) (CA INDEX NAME)

RN 471908-97-1 CAPLUS

CN 1H-Indazole-6-carboximidamide, 1-[1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

RN 471909-00-9 CAPLUS

CN 1H-Benzimidazole-6-carboximidamide, 1-[1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]- (9CI) (CA INDEX NAME)

RN 471909-04-3 CAPLUS
CN 1H-Benzimidazole-5-carboximidamide, 1-[1-[3-fluoro-2'(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-oxo-3-piperidinyl]- (9CI) (CA
INDEX NAME)

RN 471909-06-5 CAPLUS
CN 1H-Indole-2,3-dione, 1-[1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4yl]-2-oxo-3-piperidinyl]-5-methoxy- (9CI) (CA INDEX NAME)

RN 471909-08-7 CAPLUS
CN 2-Piperidinone, 3-(3,4-dihydro-6-methoxy-1(2H)-quinolinyl)-1-[3-fluoro-2'
(methylsulfinyl)[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)

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RN 471909-10-1 CAPLUS
CN 2H-Benzimidazol-2-one, 1-[1-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4yl]-2-oxo-3-piperidinyl]-1,3-dihydro-5-methoxy-3-(1-methylethyl)- (9CI)
(CA INDEX NAME)

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L4 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN
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AN 1997:640672 CAPLUS Full-text

DN 127:307374

TI Spirocyclic piperidine derivatives useful as 5-HT1B receptor antagonists

IN Gaster, Laramie Mary; Ham, Peter; King, Francis David; Wyman, Paul

## Adrian

PA Smithkline Beecham PLC, UK; Gaster, Laramie Mary; Ham, Peter; King, Francis David; Wyman, Paul Adrian

SO PCT Int. Appl., 58 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

r AM.	PATENT NO.				KIND DATE			APPLICATION NO.					DATE					
ΡI	WO 9734901			A1 19970925			WO 1997-EP1404				,	19970319						
								BA,										
			DK,	EE,	ES,	FI,	GB,	GE,	GH,	HU,	IL,	IS,	JP,	KE,	KG,	KP,	KR,	ΚZ,
	•		LC,	LK,	LR,	LS,	LT,	LU,	LV,	MD,	MG,	MK,	MN,	MW,	MX,	NO,	NZ,	PL,
			PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	ТJ,	TM,	TR,	TT,	UA,	UG,	US,	UZ,
			VN,	YU,	AM,	ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	MT					
		RW:						SZ,										
			GR,	IE,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,
			$\mathrm{ML}$ ,	MR,		•	•											
	ZA 9	7023	329			Α		1998	0918		ZA 1	997-:	2329			1:	9970	318
	CA 2	2500	016			AA		1997	0925	1	CA 1	997-:	2250	016		1:	9970	319
	AU 9	7215	581			A1		1997	1010		AU 1	997-:	2158	1		1:	9970	319
	EP 888358			A1 19990107			EP 1997-914271					19970319						
		R:	BE,	CH,	DE,	ES,	FR,	GB,	IT,	LI,	NL							
	JP 2	0005	5072	40		Т2		2000	0613		JP 1	997-	5331	57		1	9970	319
	US 6	1002	272			Α		2000	8080		US 1	998-	1429	88		1	9980	918
PRAI	GB 1	996-	-588	3		Α		1996	0320									
	WO 1	.997-	-EP1	404		W		1997	0319									
os	MARPAT 127:307374																	
GI																		

AB Novel piperidine derivs. I [R = NR1COR2 or (un)substituted heterocyclyl; R1 = H, alkyl; R2 = H, alkyl, (un)substituted aryl; or R1R3 = (CH2)2-4; R4, R5 = H, halo, alkoxy, acyloxy, OH, NO2, CF3, cyano, CO2H or derivs.,

ΙI

(un) substituted alkyl or NH2, etc; B = O, S; D = N, C, CH; R6 = H, alkyl; R7 = H, alkyl, alkoxy, halo; or R6R7 = (CH2)2-4 or (CH2)0-3J with optional alkyl substituent(s), where J = O, S, CH:CH, CH:N, :CHO, :CHS, or : CHNH with optional alkyl substituents; R8 = H, alkyl, cycloalkyl, alkenyl, or alkylcycloalkyl; R9, R10 = H, alkyl; E = O, CH2, NH, or SOO-2 with optional alkyl substituents; G = CO or (CH2)1-3 with optional alkyl substituents; X, Y = CR9R10; m = 1-3] and their salts and Noxides, processes for their preparation, pharmaceutical compns. containing them, and their use as medicaments are disclosed. The compds. are selective 5-HT1B receptor antagonists, useful for treatment of a variety of CNS and other disorders. Examples include prepns. of 33 compds. I and their salts, and approx. 70 intermediates. For instance, amidation of the amine intermediate 1'-methyl-2,3,6,7tetrahydrospiro[furo[2,3-f]indole-3,4'- piperidine] with the corresponding Me ester in the presence of AlMe3 in PhMe gave 61% title compound II. In an assay using CHO cells expressing 5-HT1B receptors, II had a pKi value > 8.0.

## IT 197450-06-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (intermediate; preparation of spiro[furoindolepiperidine] derivs. and analogs as 5-HT1B receptor antagonists)

RN 197450-06-9 CAPLUS

CN [1,1'-Biphenyl]-4-carboxylic acid, 2'-methyl-4'-(2-oxo-1-piperidinyl)-, methyl ester (9CI) (CA INDEX NAME)

## IT 197449-61-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of spiro[furoindolepiperidine] derivs. and analogs as 5-HT1B receptor antagonists)

RN 197449-61-9 CAPLUS

CN Spiro[2H-furo[2,3-f]indole-3(5H),4'-piperidine], 6,7-dihydro-1'-methyl-5-[[2'-methyl-4'-(2-oxo-1-piperidinyl)[1,1'-biphenyl]-4-yl]carbonyl]-(9CI)(CA INDEX NAME)

L4 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1987:440519 CAPLUS Full-text

DN 107:40519

TI Manufacture of p-phenylene bis(glutaric acid) and its linear polyimides

IN Teshirogi, Takuma

PA Daicel Chemical Industries, Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 11 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 61251636	A2	19861108	JP 1985-91232	19850430
	JP 07080813	B4	19950830		
	JP 06025414	A2	19940201	JP 1993-113321	19930514
PRAI	JP 1985-91232		19850430		
GI					

Title compound (I) is prepared from p-phenylenebis ( $\alpha$ -cyanoacrylic acid) (II) C1-4 alkyl esters and cyclic diesters III (R2, R3 = C1-4 alkyl). Title polyimides with good thermal stability are prepared by condensation of I dianhydride and aromatic diamines. Thus, 64.8 g II diethyl ester was dispersed in MeOH, treated with NaOH solution of 63.4 g Meldrum's acid over 2 h, stirred for 10 h, and heated with Ac20 to form I dianhydride, which was stirred with diaminodiphenyl ether for 24 h, and heated at 120-200° for 3 h, then at 200° in vacuo for 10 h, to obtain a transparent yellowish film showing good thermal stability (10% weight loss at 405° when heated in air at 5°/min).

IT 107040-00-6P

RL: IMF (Industrial manufacture); PREP (Preparation) (manufacture of, thermally stable and transparent)

RN 107040-00-6 CAPLUS

CN Poly[(2,6-dioxo-1,4-piperidinediyl)-1,4-phenylene(2,6-dioxo-4,1-piperidinediyl)(3,3'-dimethoxy[1,1'-biphenyl]-4,4'-diyl)] (9CI) (CA INDEX NAME)

L4 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1987:102756 CAPLUS Full-text

DN 106:102756

TI Aliphatic polyimides from phenylene bis(succinic anhydride) and bis(glutaric anhydride)

AU Teshirogi, Takuma

CS Macromol. Res. Lab., Yamagata Univ., Yonezawa, 992, Japan

SO Journal of Polymer Science, Part A: Polymer Chemistry (1987), 25(1), 31-6 CODEN: JPACEC; ISSN: 0887-624X

DT Journal

LA English

AB m- And p-derivs. of phenylene bis(succinic anhydride) and bis(glutaric anhydride) were obtained from 1,3- [77104-43-9] and 1,4-bis( $\beta$ -cyano- $\beta$ -carbethoxyvinyl)benzene [47375-13-3] with KCN or Meldrum's acid followed by hydrolysis with concentrated HCl and dehydration with Ac20. Aliphatic polyimides were prepared from these anhydrides with 6 aromatic diamines through thermal ring closure of polyamic acids obtained by solution polymerization in AcNMe2, and thermal stability of these polyimides was examined by thermogravimetric anal.

IT 107040-00-6P 107065-76-9P

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (preparation and thermal stability of)

RN 107040-00-6 CAPLUS

CN Poly[(2,6-dioxo-1,4-piperidinediyl)-1,4-phenylene(2,6-dioxo-4,1-piperidinediyl)(3,3'-dimethoxy[1,1'-biphenyl]-4,4'-diyl)] (9CI) (CA INDEX NAME)

RN 107065-76-9 CAPLUS

CN Poly[(2,6-dioxo-1,4-piperidinediyl)-1,3-phenylene(2,6-dioxo-4,1-piperidinediyl)(3,3'-dimethoxy[1,1'-biphenyl]-4,4'-diyl)] (9CI) (CA INDEX NAME)

PAGE 2-A

L4 ANSWER 9 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1977:171880 CAPLUS Full-text

DN 86:171880

TI Synthesis and properties of poly(pyromellitimide-glutarimide) copolymers

AU Yang, Chin-Ping

CS Tatung Inst. Technol., Taipei, Taiwan

SO Datong Xuebao (1974), 4, 21-39 CODEN: TTHPCI; ISSN: 0379-7309

DT Journal

LA English

Polyimides with weight loss <10% at 400° in air were obtained in 80-90% yields by ring-opening solution condensation of N,N'-diglutaric anhydride pyromellitimide (I) [53022-19-8] with diamines to give polyimide-amic acids, which were dehydrated in the presence of Ac2O and a catalyst. I was prepared by reaction of glutamic acid [56-86-0] with pyromellitic anhydride [89-32-7] at 30-60° in DMF. I was condensed with aromatic diamines and NH2(CH2)6NH2 in solution at room temperature in 6-10 h to form 85-98% of the polyimide-amic acids. The acids produced a stable viscous solution which did not gel on prolonged storage at room temperature. The polyimides were obtained by heating a solution of the acid in AcNMe2 at 100° in the presence of Ac2O and pyridine. The structure of the polymers was confirmed using model compds., and their solubility and heat-resistance was evaluated. The polyimides gave clear, tough films when cast from polar solvents.

IT 53022-66-5P

RL: SPN (Synthetic preparation); PREP (Preparation)(heat-resistant, preparation of)

RN 53022-66-5 CAPLUS

CN Poly[(5,7-dihydro-1,3,5,7-tetraoxobenzo[1,2-c:4,5-c']dipyrrole-2,6(1H,3H)-diyl)[(3S)-2,6-dioxo-3,1-piperidinediyl][1,1'-biphenyl]-4,4'-diyl[(3S)-2,6-dioxo-1,3-piperidinediyl]] (9CI) (CA INDEX NAME)

IT 53022-56-3

RL: USES (Uses)

(model compds., for diglutaric anhydride pyromellitimide-based
polyimide)

RN 53022-56-3 CAPLUS

CN 1H-Isoindole-1,3(2H)-dione, 2,2'-[[1,1'-biphenyl]-4,4'-diylbis(2,6-dioxo-1,3-piperidinediyl)]bis-, [S-(R\*,R\*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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L4 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN
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AN 1974:569897 CAPLUS Full-text

DN 81:169897

TI Synthesis and properties of copolypyromellitimide-glutarimides

AU Yang, C. P.

CS Tatung Inst. Technol., Taipei, Taiwan

SO Datong Xuebao (1974), 4, 21-39 CODEN: TTHPCI; ISSN: 0379-7309

DT Journal

LA English

AB Polyimides (7) containing glutarimide- and pyromellitimide units in the main chain were prepared by ring opening of N,N'-diglutaric anhydride pyromellitimide (I) [53022-19-8] with diamines in solution to give polyamic acids, which were converted by ring closure to the desired polyimides. I was prepared by reaction of glutamic acid [56-86-0] with pyromellitic anhydride [89-32-7]. The polyamic acids formed from I and diamines were very stable in solution; no gelation was observed during long storage at room temperature Conversion of the polyamic acids to polyimides was effected with dehydrating agents and catalysts such as pyridine. The polyimides gave clear films with excellent heat resistance. The kinetics, mechanisms, ir spectra, solubilities and thermal anal. properties of the polymers were studied. In an example, I-p,p'-diaminodiphenyl ether polymer (II, SRU) [53109-44-7] was obtained in 92% yield and had sp. viscosity 0.26 (0.5 g/dl., Me2SO, 30.deg.) compared to 0.69 (0.5 q/dl., Me2NAc, 30.deq.) for its resp. polyamic acid [53149-10-3].

IT 53022-56-3

RL: USES (Uses) (model compound, for polyimide preparation)

RN 53022-56-3 CAPLUS

CN 1H-Isoindole-1,3(2H)-dione, 2,2'-[[1,1'-biphenyl]-4,4'-diylbis(2,6-dioxo-1,3-piperidinediyl)]bis-, [S-(R\*,R\*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

IT 53022-66-5P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)

RN 53022-66-5 CAPLUS

CN Poly[(5,7-dihydro-1,3,5,7-tetraoxobenzo[1,2-c:4,5-c']dipyrrole-2,6(1H,3H)-diyl)[(3S)-2,6-dioxo-3,1-piperidinediyl][1,1'-biphenyl]-4,4'diyl[(3S)-2,6-dioxo-1,3-piperidinediyl]] (9CI) (CA INDEX NAME)

L4 ANSWER 11 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1974:404289 CAPLUS Full-text

DN 81:4289

TI Syntheses and properties of new aromatic-aliphatic copolyimides

AU Iwakura, Yoshia; Yang, Chin-Ping; Uno, Keikichi

CS Fac. Eng., Univ. Tokyo, Tokyo, Japan

SO Makromolekulare Chemie (1974), 175(1), 137-59

CODEN: MACEAK; ISSN: 0025-116X

DT Journal

LA English

Aromatic-aliphatic copolyimides containing both pyromellitimide and succinimide or glutarimide rings in the main chain were prepared by a conventional 2-step poly(amic acid) formation and cyclodehydration reaction of 2,2'-(pyromellitic diimide)-N,N'-bis(succinic anhydride) (I, m=1) [51774-96-0] or 2,2'-(pyromellitic diimide)-N,N'-bis(glutaric anhydride) (I, m=2) [51774-97-1] with primary aromatic or aliphatic diamines. The poly(amic acids) did not gel during storage in polar solvents at room temperature. The copolyimides gave tough, clear, flexible films from DMSO, and had good heat and oxidation resistance. The I were prepared from pyromellitic dianhydride [89-32-7] and glutamic acid [56-86-0] or aspartic acid [56-84-8].

IT 52605-05-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)

RN 52605-05-7 CAPLUS

CN 1H-Isoindole-1,3(2H)-dione, 2,2'-[[1,1'-biphenyl]-4,4'-diylbis(2,6-dioxo-3,1-piperidinediyl)]bis- (9CI) (CA INDEX NAME)

IT 52455-98-8P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of heat-resistant, with improved solubility)

RN 52455-98-8 CAPLUS

CN Poly[(5,7-dihydro-1,3,5,7-tetraoxobenzo[1,2-c:4,5-c']dipyrrole-2,6(1H,3H)-diyl)(2,6-dioxo-3,1-piperidinediyl)[1,1'-biphenyl]-4,4'-diyl(2,6-dioxo-1,3-piperidinediyl)] (9CI) (CA INDEX NAME)

f

=> d l1; d his; log y
L1 HAS NO ANSWERS
L1 STR

Structure attributes must be viewed using STN Express query preparation.

(FILE 'HOME' ENTERED AT 18:17:17 ON 09 NOV 2004)

FILE 'REGISTRY' ENTERED AT 18:17:24 ON 09 NOV 2004

L1 STRUCTURE UPLOADED

L2 6 S L1

L3 152 S L1 FUL

FILE 'CAPLUS' ENTERED AT 18:17:45 ON 09 NOV 2004

L4 11 S L3

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